

DEAV2003/0001 US NP  
Application No. 10/751,600

PATENT

Amendments to the Specification

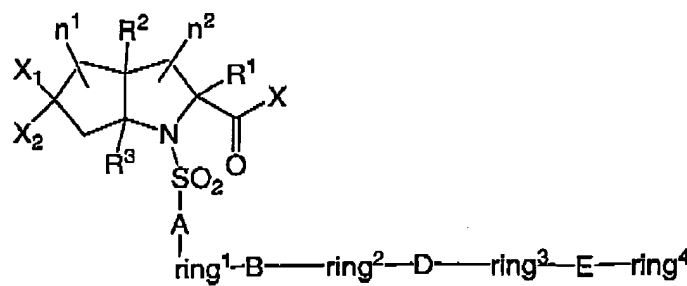
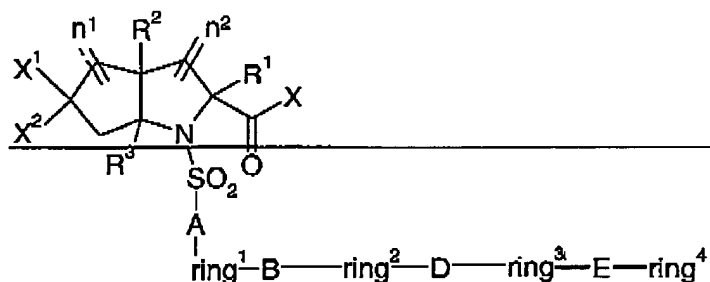
Please replace the Title with the following new Title:

**--IMINO ACID DERIVATIVES AS INHIBITORS OF MATRIX  
METALLOPROTEINASES--**

Please replace paragraph [0009] with the following amended paragraph

[0009] The invention therefore relates to a compound of formula I

(I)



Please replace paragraph [0058] with the following amended paragraph.

[0058]  $X^1$   $X_1$  and  $X^2$   $X_2$  are identical or different and are, independently of each other, hydrogen or  $-(C_1-C_6)-$  alkyl, or taken together form the radical  $=O$ ,

Please replace paragraph [0070] with the following amended paragraph.

[0070]  ~~$R^2$~~   $R^2$  and  $R^3$  are identical or different and are, independently of each other, hydrogen or  $-(C_1-C_6)-$  alkyl,

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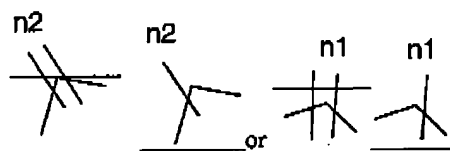
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Please replace paragraph [0071] with the following amended paragraph.

[0071] R<sup>4</sup> is

Please replace paragraph [0097] with the following amended paragraph.

[0097] The radicals



Please replace paragraph [0101] with the following amended paragraph.

[0101] The term "heteroaryl" means a radical such as acridinyl, azepinyl, azetidyl, aziridinyl, benzimidazalinyl, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, dibenzofuranyl, dibenzothiophenyl, dihydrofuran[2,3-b]tetrahydrofuranlyl, dihydrofuranlyl, dioxolyl, dioxanyl, 2H,6H-1,5,2-dithiazinyl, furanyl, furazanyl, imidazolidinyl, imidazolnlyl, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolidinyl, 2-isothiazolinyl, isothiazolyl, isoxazolyl, isoxazolidinyl, 2-isoxazolinyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, oxothiolanyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~purinyl~~ purinyl, pyranlyl, pyrazinyl, pyroazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoxazolyl~~ pyridoxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidinyl, tetrahydrofuranlyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, tetrahydropyridinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl,

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thienooxazolyl, thienoimidazolyl, thiomorpholinyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl and xanthenyl.

Please replace paragraph [0105] with the following amended paragraph.

[0105] ring<sup>1</sup>, ring<sup>2</sup> or ring<sup>3</sup> as are

Please replace paragraph [0106] with the following amended paragraph.

[0106] -(C<sub>6</sub>-C<sub>14</sub>)-aryl that is phenyl, naphthyl, 1-naphthyl, 2-naphthyl, biphenyl, 2-biphenyl, 3-biphenyl, 4-biphenyl, anthryl or fluorenyl and is unsubstituted or substituted, independently of each other, once, twice or three times, by G, or 5- or 6-membered aromatic heteroaryl ring that is dihydrofuranyl, dioxolyl, dioxanyl, furanyl, imidazolidinyl, imidazolyl, isoxazolyl, isoxazolidinyl, 2-isoxazolinyl, isothiazolyl, isothiazolidinyl, 2-isothiazolinyl, morpholinyl, oxazolyl, oxothiolanyl, piperazinyl, piperidinyl, pyranyl, pyrazinyl, pyrazolyl, pyrazolidinyl, pyrazolinyl, pyridazinyl, pyridinyl, pyrimidinyl, pyrrolyl, pyrrolidinyl, tetrahydrofuranyl, tetrahydropyridinyl, thiazolyl, thiomorpholinyl, thiophenyl or thiopyranyl and is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0107] with the following amended paragraph.

[0107] ring<sup>4</sup> as is

Please replace paragraph [0108] with the following amended paragraph.

[0108] -(C<sub>6</sub>-C<sub>14</sub>)-aryl that is phenyl, naphthyl, 1-naphthyl, 2-naphthyl, biphenyl, 2-biphenyl, 3-biphenyl, 4-biphenyl, anthryl or fluorenyl and is unsubstituted or substituted, independently of each other, once, twice or three times, by G, 5- or 6-membered aromatic heteroaryl ring that is dihydrofuranyl, dioxolyl, dioxanyl, furanyl, imidazolidinyl, imidazolyl, isoxazolyl, isoxazolidinyl, 2-isoxazolinyl, isothiazolyl, isothiazolidinyl, 2-isothiazolinyl, morpholinyl, oxazolyl, oxothiolanyl, piperazinyl, piperidinyl, pyranyl, pyrazinyl, pyrazolyl, pyrazolidinyl, pyrazolinyl, pyridazinyl, pyridinyl, pyrimidinyl, pyrrolyl, pyrrolidinyl, tetrahydrofuranyl, tetrahydropyridinyl, thiazolyl, thiomorpholinyl, thiophenyl or thiopyranyl and is unsubstituted or substituted, independently of each other, once, twice or three times, by G, or

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Please replace paragraph [0109] with the following amended paragraph.

[0109] heteroaryl that is acridinyl, azetidiny, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, deca-hydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuran[2,3-b]tetrahydrofuran, ~~furanyl~~ furanyl, furazanyl, imidazolidinyl, imidazoliny, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~purinyl~~ purinyl, pyranyl, pyrazinyl, pyroazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoaxazolyl~~ pyridoaxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

Please replace paragraph [0110] with the following amended paragraph.

[0110] and that is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0111] with the following amended paragraph.

[0111] R<sup>4</sup> as-is

Please replace paragraph [0112] with the following amended paragraph.

[0112] -(C<sub>1</sub>-C<sub>6</sub>)- alkyl that is unsubstituted or substituted, once, twice or three times, by halogen, -(C<sub>3</sub>-C<sub>6</sub>)- cycloalkyl, phenyl, naphthyl, acridinyl, azetidiny, benzimidazolyl,

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benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, decahydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuran[2,3-b]tetrahydrofuran, ~~fu~~aranyl~~fu~~aranyl, furazanyl, imidazolidinyl, imidazolyl, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholinyl, naphthyrinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~puranyl~~purinyl, pyranyl, pyrazinyl, pyroazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoxazolyl~~pyridooxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

Please replace paragraph [0113] with the following amended paragraph.

[0113] and that is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0114] with the following amended paragraph.

[0114] -(C<sub>6</sub>-C<sub>14</sub>)-aryl that is phenyl or naphthyl, or

Please replace paragraph [0115] with the following amended paragraph.

[0115] heteroaryl that is acridinyl, azetidiny, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, deca-hydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuran[2,3-b]tetrahydrofuran, ~~fu~~aranyl~~fu~~aranyl, furazanyl,

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imidazolidinyl, imidazoliny, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~purinyl~~ purinyl, pyranyl, pyrazinyl, pyroazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoxazolyl~~ pyridoxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

Please replace paragraph [0116] with the following amended paragraph.

[0116] and ~~that~~ is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0118] with the following amended paragraph.

[0118] -(C<sub>1</sub>-C<sub>6</sub>)- alkyl that is unsubstituted or substituted, once, twice or three times, by -(C<sub>3</sub>-C<sub>6</sub>)- cycloalkyl, phenyl, naphthyl, acridinyl, azetidiny, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnoliny, deca-hydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuran[2,3-b]tetrahydrofuran, ~~furanyl~~ furanyl, furazanyl, imidazolidinyl, imidazoliny, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~purinyl~~ purinyl, pyranyl, pyrazinyl, pyroazolidinyl,

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pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoxazolyl~~ pyridooxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidiny, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadaziny, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

Please replace paragraph [0119] with the following amended paragraph.

[0119] ~~and that~~ is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0120] with the following amended paragraph.

[0120] -(C<sub>6</sub>-C<sub>14</sub>)- aryl that is phenyl or naphthyl, or heteroaryl that is acridinyl, azetidiny, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazaliny, carbazolyl, 4aH-carbazolyl, carboliny, chromanyl, chromenyl, cinnoliny, deca-hydroquinolinyl, 2H,6H-1,5,2-dithiaziny, dihydrofuran[2,3-b]tetrahy- drofuran, ~~furanyl~~ furanyl, furazanyl, imidazolidinyl, imidazoliny, imidazolyl, 1H-indazolyl, indoliny, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindoliny, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholiny, naphthyridiny, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridiny, phenanthroliny, phenaziny, phenothiaziny, phenoxathiiny, phenoxaziny, phthalaziny, piperaziny, piperidinyl, pteridinyl, ~~puranyl~~ puriny, pyranyl, pyraziny, pyroazolidiny, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoxazolyl~~ pyridooxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridiny, pyridyl, pyrimidinyl, pyrrolidinyl, pyrroliny, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidiny, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadaziny, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

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Please replace paragraph [0121] with the following amended paragraph.

[0121] and that is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0122] with the following amended paragraph.

[0122] R<sup>6</sup> ~~as is~~

Please replace paragraph [0123] with the following amended paragraph.

[0123] -(C<sub>1</sub>-C<sub>6</sub>)- alkyl that is unsubstituted or substituted, once, twice or three times, by -(C<sub>3</sub>-C<sub>6</sub>)- cycloalkyl, phenyl, naphthyl, acridinyl, azetidiny, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, deca-hydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuran[2,3-b]tetrahydrofuran, fuaranyl, furazanyl, imidazolidinyl, imidazolinyl, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenaziny, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~paranyl~~ purinyl, pyranyl, pyrazinyl, pyroazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoxazolyl~~ pyridooxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

Please replace paragraph [0124] with the following amended paragraph.



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[0124] and that is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0125] with the following amended paragraph.

[0125]  $-(C_6-C_{14})$ -aryl that is phenyl or naphthyl, or

Please replace paragraph [0126] with the following amended paragraph.

[0126] heteroaryl that is acridinyl, azetidiny, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, deca-hydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuran[2,3-b]tetrahydrofuran, fuaranyl, furazanyl, imidazolidinyl, imidazolinyl, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~puranyl~~ purinyl, pyranyl, pyrazinyl, pyroazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoexazolyl~~ pyridooxazolyl, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

Please replace paragraph [0127] with the following amended paragraph.

[0127] and that is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

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Please replace paragraph [0128] with the following amended paragraph.

[0128] R<sup>1</sup> ~~as is~~

Please replace paragraph [0129] with the following amended paragraph.

[0129] -(C<sub>1</sub>-C<sub>6</sub>)- alkyl that is unsubstituted or substituted, once, twice or three times, by -(C<sub>3</sub>-C<sub>6</sub>)- cycloalkyl, phenyl, naphthyl, acridinyl, azetidiny, benzimidazolyl, benzofuranyl, benzothiofuranyl, benzothiophenyl, benzoxazolyl, benzothiazolyl, benzotriazolyl, benzotetrazolyl, benzisoxazolyl, benzisothiazolyl, benzimidazalinyl, carbazolyl, 4aH-carbazolyl, carbolinyl, chromanyl, chromenyl, cinnolinyl, deca-hydroquinolinyl, 2H,6H-1,5,2-dithiazinyl, dihydrofuran[2,3-b]tetrahydrofuran, fuaranyl, furazanyl, imidazolidinyl, imidazoliny, imidazolyl, 1H-indazolyl, indolinyl, indoliziny, indolyl, 3H-indolyl, isobenzofuranyl, isochromanyl, isoindazolyl, isoindolinyl, isoindolyl, isoquinolinyl (benzimidazolyl), isothiazolyl, isoxazolyl, morpholinyl, naphthyridinyl, octahydroisoquinolinyl, oxadiazolyl, 1,2,3-oxadiazolyl, 1,2,4-oxadiazolyl, 1,2,5-oxadiazolyl, 1,3,4-oxadiazolyl, oxazolidinyl, oxazolyl, oxazolidinyl, pyrimidinyl, phenanthridinyl, phenanthrolinyl, phenazinyl, phenothiazinyl, phenoxathiinyl, phenoxazinyl, phthalazinyl, piperazinyl, piperidinyl, pteridinyl, ~~purinyl~~ ~~purinyl~~, pyranyl, pyrazinyl, pyroazolidinyl, pyrazolinyl, pyrazolyl, pyridazinyl, ~~pyridoexazolyl~~ ~~pyridoexazolyl~~, pyridoimidazolyl, pyridothiazolyl, pyridothiophenyl, pyridinyl, pyridyl, pyrimidinyl, pyrrolidinyl, pyrrolinyl, 2H-pyrrolyl, pyrrolyl, quinazolinyl, quinolinyl, 4H-quinoliziny, quinoxaliny, quinuclidinyl, tetrahydrofuranyl, tetrahydroisoquinolinyl, tetrahydroquinolinyl, 6H-1,2,5-thiadiazinyl, 1,2,3-thiadiazolyl, 1,2,4-thiadiazolyl, 1,2,5-thiadiazolyl, 1,3,4-thiadiazolyl, thianthrenyl, thiazolyl, thienyl, thienothiazolyl, thienooxazolyl, thienoimidazolyl, thiophenyl, triazinyl, 1,2,3-triazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, 1,2,5-triazolyl, 1,3,4-triazolyl or xanthenyl,

Please replace paragraph [0130] with the following amended paragraph.

[0130] and that is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

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Please replace paragraph [0142] with the following amended paragraph.

[0142] ring<sup>1</sup>, ring<sup>2</sup> or ring<sup>3</sup> ~~as are~~

Please replace paragraph [0143] with the following amended paragraph.

[0143] -(C<sub>6</sub>-C<sub>14</sub>)-aryl that is phenyl or naphthyl that is unsubstituted or substituted, independently of each other, once, twice or three times, by G, or 5- or 6-membered aromatic heteroaryl ring that is dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyrimidinyl, pyrrolyl, thiazolyl or thiophenyl, and is ~~are~~ unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0144] with the following amended paragraph.

[0144] ring<sup>4</sup> ~~as is~~

Please replace paragraph [0145] with the following amended paragraph.

[0145] -(C<sub>6</sub>-C<sub>14</sub>)-aryl that is phenyl or naphthyl and is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0146] with the following amended paragraph.

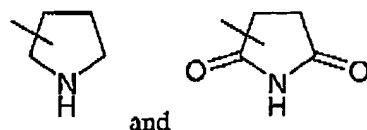
[0146] 5- or 6-membered aromatic heteroaryl ring that is dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyrimidinyl, pyrrolyl, thiazolyl or thiophenyl, and is unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0147] with the following amended paragraph.

[0147] heteroaryl that is benzofuranyl, benzothiophenyl, dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyridothiophenyl, pyrimidinyl, pyrrolyl, thiazolyl or thiophenyl, and is ~~are~~ unsubstituted or substituted, independently of each other, once, twice or three times, by G, or azaheterocyclyl selected from the group consisting of

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Please replace paragraph [0149] with the following amended paragraph.

[0149] G ~~as is~~

Please replace paragraph [0150] with the following amended paragraph.

[0150] halogen that is Br, Cl, I or F, and

Please replace paragraph [0151] with the following amended paragraph.

[0151] -S(O)<sub>p</sub>-R<sup>4</sup> that is -S(O)<sub>2</sub>-R<sup>4</sup>,

Please replace paragraph [0154] with the following amended paragraph.

[0154] -(C<sub>1</sub>-C<sub>4</sub>)-alkyl that is unsubstituted or substituted, once, twice or three times, by Br, Cl, F, -C<sub>3</sub>-cycloalkyl, phenyl, naphthyl, or heteroaryl that is benzofuranyl, benzothiophenyl, dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyridothiophenyl, pyrimidinyl, pyrrolyl, thiazolyl or thiophenyl, and is ~~are~~ unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0160] with the following amended paragraph.

[0160] -(C<sub>1</sub>-C<sub>4</sub>)-alkyl that is unsubstituted or substituted, once or twice, by -C<sub>3</sub>-cycloalkyl, phenyl, naphthyl or heteroaryl that is benzofuranyl, benzothiophenyl, dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyridothiophenyl, pyrimidinyl, pyrrolyl, thiazolyl or thiophenyl, and is ~~are~~ unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0162] with the following amended paragraph.

[0162] heteroaryl that is benzofuranyl, benzothiophenyl, dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyridothiophenyl, pyrimidinyl, pyrrolyl,

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thiazolyl or thiophenyl, and ~~that~~ is substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0164] with the following amended paragraph.

[0164] -(C<sub>1</sub>-C<sub>4</sub>)-alkyl, in which alkyl is unsubstituted or substituted, once or twice, by -C<sub>3</sub>-cycloalkyl, phenyl, naphthyl or heteroaryl that is benzofuranyl, benzothiophenyl, dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyridothiophenyl, pyrimidinyl, pyrrolyl, thiazolyl or thiophenyl, and is ~~are~~ unsubstituted or substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0166] with the following amended paragraph.

[0166] heteroaryl that is benzofuranyl, benzothiophenyl, dihydrofuranyl, furanyl, morpholinyl, piperazinyl, piperidinyl, pyridinyl, pyridothiophenyl, pyrimidinyl, pyrrolyl, thiazolyl or thiophenyl, and ~~that~~ is substituted, independently of each other, once, twice or three times, by G,

Please replace paragraph [0167] with the following amended paragraph

[0167]  $X^1$   $X_1$  and  $X^2$   $X_2$  are

Please replace paragraph [0173] with the following amended paragraph.

[0173] ~~R<sup>2</sup>~~  $R^2$  and  $R^3$  are